U.S. DEPARTMENT OF COMMERCE
Patent and Trademark Office

SEARCH REQUEST FORM 151109

Requestor's Name: BERCH

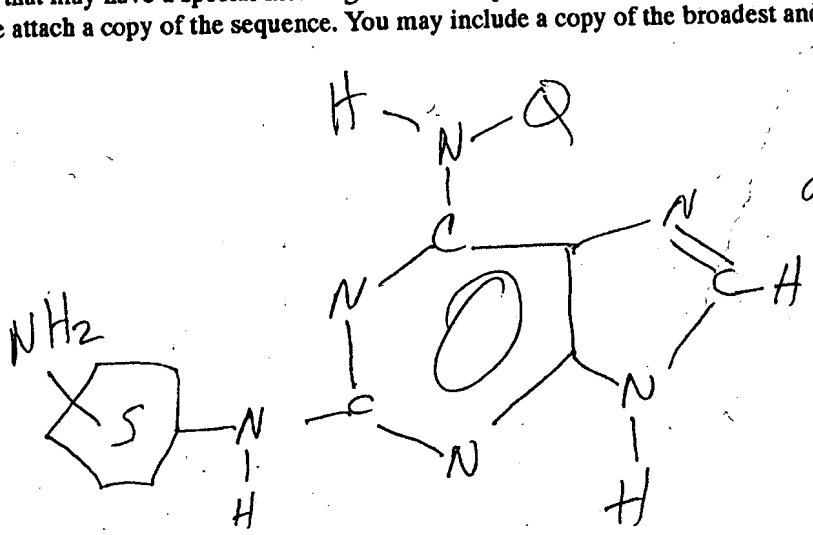
Serial Number: 10606424

Date: 4/19 Phone: 571-272-0663 Art Unit: 1624

Office Rem 5C01 Mailbox 5C18

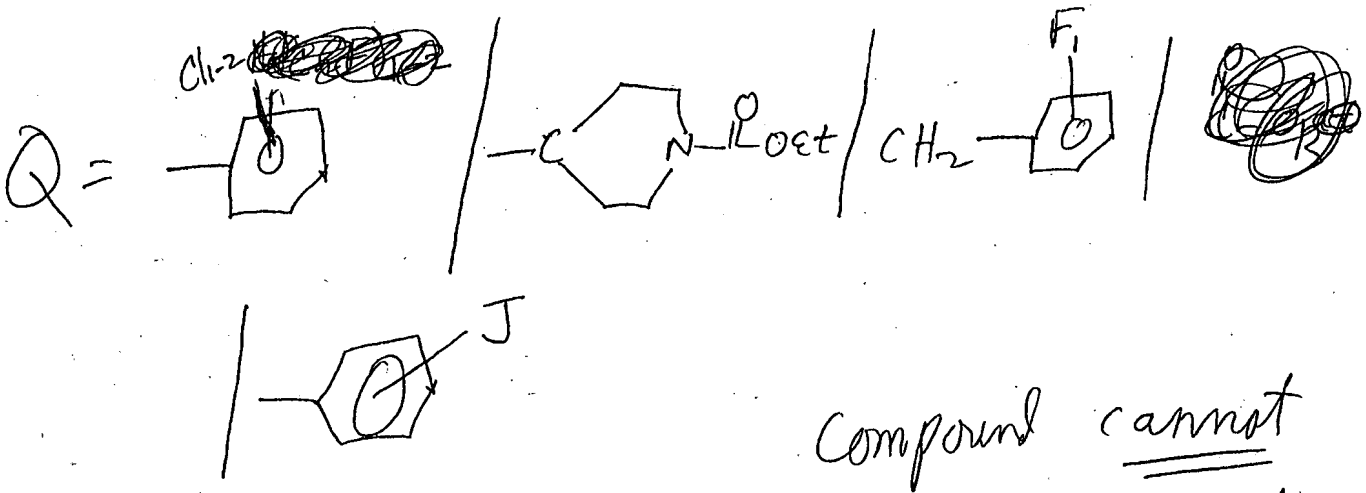
Search Topic:

Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevent citations, authors, keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevent claim(s).



J = C(=O)OR or N(CH3)CH3 or C(=O)H or C(=O)N-⬡ or C(=O)NH2

R = C2-4 alkyl or CH2CH2 N(Et)Et



Compound cannot be multicomponent

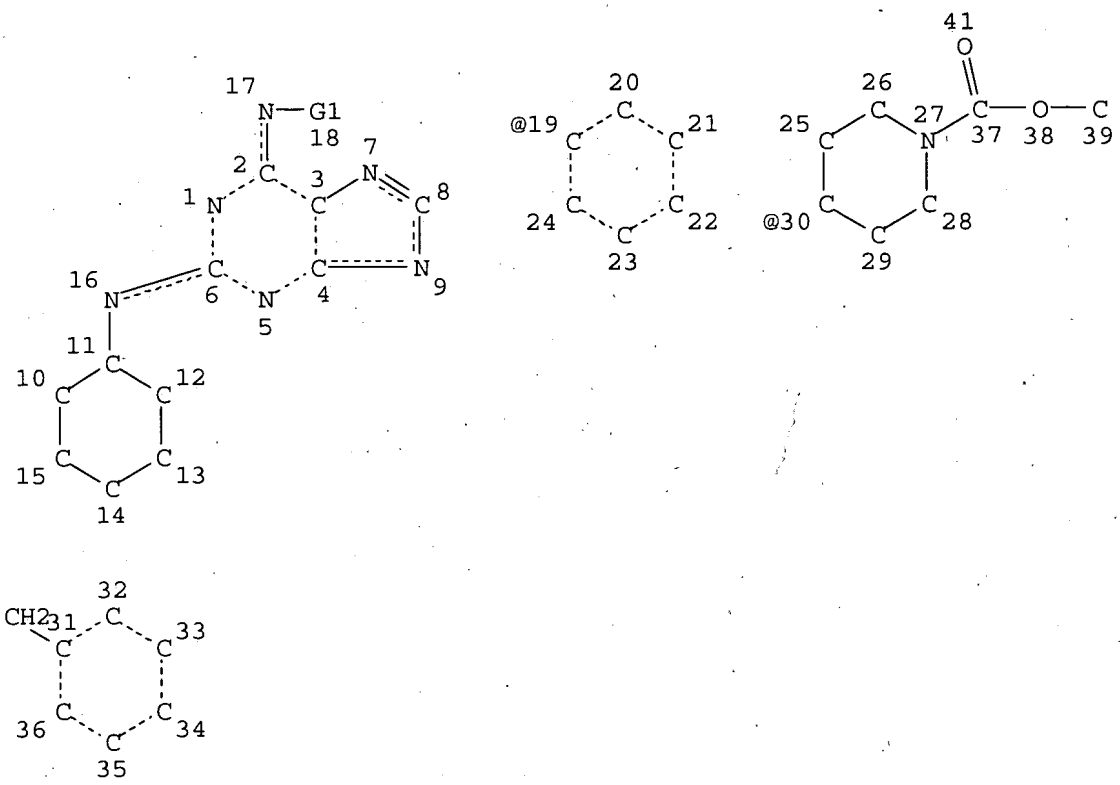
330.70

11149 1:47

#3 of 4

Beach
10/606424
#3 of 4

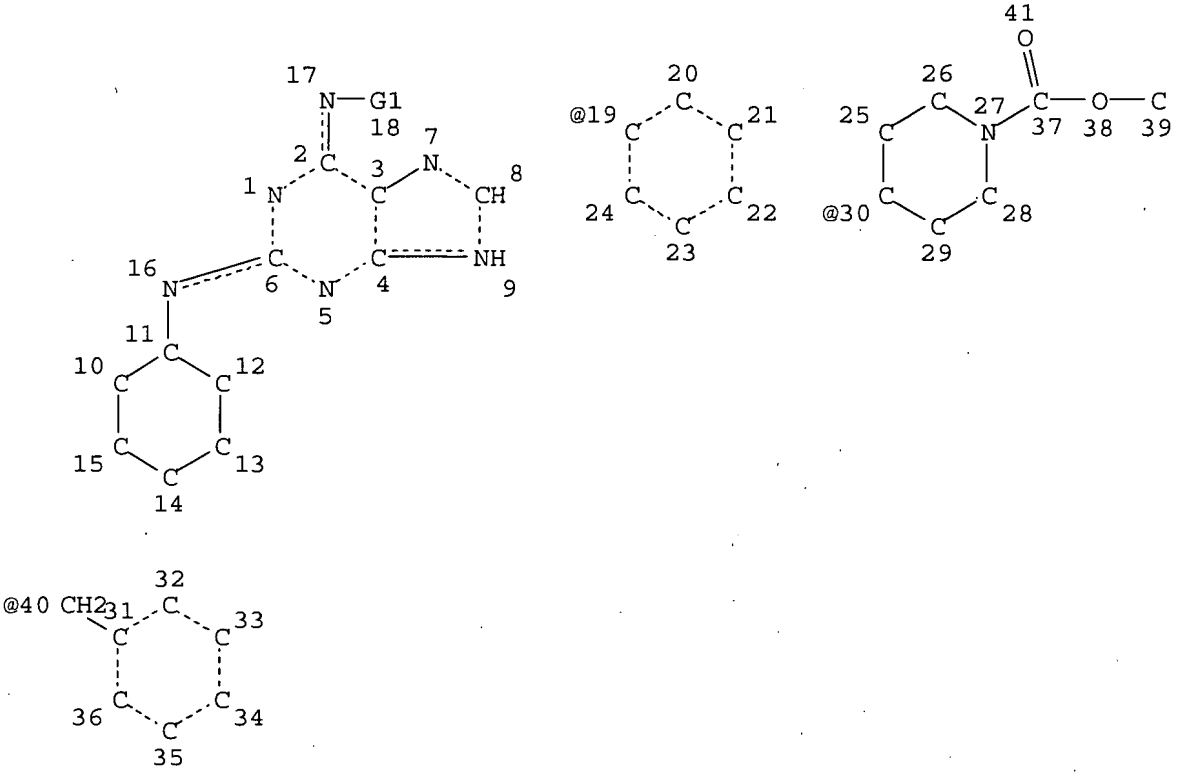
=> d l10 que stat;fil caplus;s l10
L5 STR



VAR G1=19/30/40
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 41

STEREO ATTRIBUTES: NONE
L7 595 SEA FILE=REGISTRY SSS FUL L5
L8 451 SEA FILE=REGISTRY ABB=ON PLU=ON L7 AND 1/NC
L9 STR



VAR G1=19/30/40
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 41

STEREO ATTRIBUTES: NONE
L10 22 SEA FILE=REGISTRY SUB=L8 SSS FUL L9

100.0% PROCESSED 22 ITERATIONS 22 ANSWERS
SEARCH TIME: 00.00.01

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	330.70	330.91

FILE 'CAPLUS' ENTERED AT 11:48:51 ON 21 APR 2005

FILE COVERS 1907 - 21 Apr 2005 VOL 142 ISS 17
FILE LAST UPDATED: 20 Apr 2005 (20050420/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

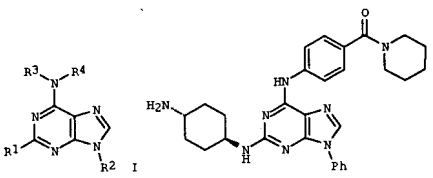
L11 5 L10

=> d 1-5 ibib abs hitstr

L11 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:161015 CAPLUS
DOCUMENT NUMBER: 142:261551
TITLE: Preparation of purinamines as inhibitors of receptor tyrosine kinase activity
INVENTOR(S): Cheng, Dai; Ding, Qiang; Han, Dong; Gray, Nathanael Schiander; Zhang, Guobao
PATENT ASSIGNEE(S): IRM Llc, Bermuda
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

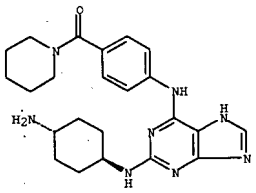
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005016528	A2	20050224	WO 2004-US26373	20040813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2003-495406P	P 20030815
			US 2003-524357P	P 20031121
			US 2004-565367P	P 20040426

GI



I II

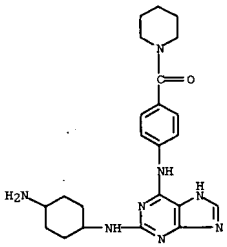
AB The invention provides a novel class of compds. I [R1 = H, halo, alkyl, haloalkyl, etc.; R2 = H, aryl, heteroaryl; R3 = H, alkyl; R4 = (hetero)cycloalkylalkyl, (hetero)arylalkyl, etc.], pharmaceutical compns. comprising such compds. and methods of using such compds. to treat or prevent diseases or disorders associated with cSRC, Lck, FGFR3, Flt3, TrkB, Bmx, and/or PDGFRα kinase activity. Twelve synthetic examples



describe the prepn. of compds. I. E.g., a multi-step synthesis of II, starting from 2,6-dichloropurine, was given. The compds. I were tested against various kinases. For example, I showed IC50 of 0.1 nM to 0.0005 μM in Flt-3 assay.

IT **845792-02-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of purinamines as tyrosine kinase receptor inhibitors)

RN 845792-02-1 CAPLUS
CN Piperidine, 1-[4-[[2-[(4-aminocyclohexyl)amino]-1H-purin-6-yl]amino]benzoyl]- (9CI) (CA INDEX NAME)



IT **845795-66-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of purinamines as tyrosine kinase receptor inhibitors)

RN 845795-66-6 CAPLUS
CN Piperidine, 1-[4-[[2-[(trans-4-aminocyclohexyl)amino]-1H-purin-6-yl]amino]benzoyl]- (9CI) (CA INDEX NAME)

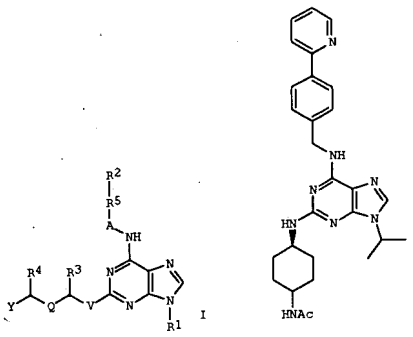
Relative stereochemistry.

L11 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:221651 CAPLUS
DOCUMENT NUMBER: 138:238196
TITLE: Preparation of biarylmethylaminopurines as potent cyclin/CDK inhibitors and antiproliferative agents.
INVENTOR(S): Trova, Michael Peter
PATENT ASSIGNEE(S): Albany Molecular Research, Inc., USA
SOURCE: PCT Int. Appl., 275 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003022805	A2	20030320	WO 2002-US28730	20020909
WO 2003022805	A3	20040122		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003092909	A1	20030515	US 2002-237530	20020906
US 6812232	B2	20041102		
PRIORITY APPLN. INFO.:			US 2001-318569P	P 20010911
OTHER SOURCE(S):		MARPAT 138:238196		

GI

L11 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



I

II

AB Title compds. [I; R1 = H, alkyl, alkenyl, cycloalkyl, CH2CF3, CH2CH2CF3, CH(CF3)2; R2 = (substituted) Ph, naphthyl, pyridyl, pyrimidyl, thienyl, furyl, pyrrolyl, quinolinyl, isoquinolinyl, etc.; R3 = H, alkyl, alkenyl, (substituted) Ph, phenylalkyl, etc.; R4 = H, alkyl; R3R4 = atoms to form a 5-8 membered ring; R5 = heterocycle; A = CH2, (CH2)2, (CH2)3, OCH2CH2, CHCH3; Y = H, OR1, NHR1, NHCOR3, NHSO2R3, etc.; Q = (CH2)n; n = 0-3; V = NH, O, S, CH2], were prepared Thus, title compound II was prepared and inhibited growth of BT-579, MCF7, and numerous other transformed cell lines with GI50 < 0.01 μM.

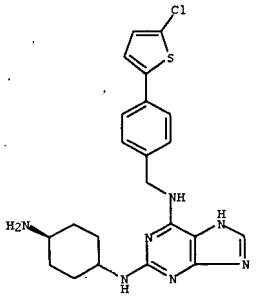
IT **502146-09-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of biarylmethylaminopurines as potent cyclin/CDK inhibitors and antiproliferative agents)

RN 502146-09-0 CAPLUS

CN 7H-Purine-2,6-diamine, N2-(trans-4-aminocyclohexyl)-N6-[[4-(5-chloro-2-thienyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L11 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

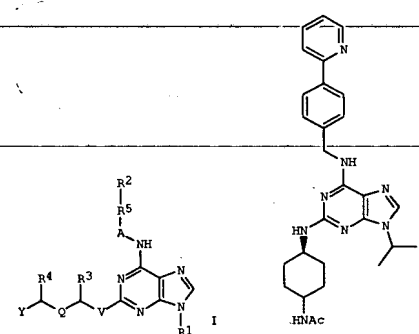


L11 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:221467 CAPLUS
DOCUMENT NUMBER: 138:255243
TITLE: Preparation of biarylmethylaminopurines as potent cyclin/CDK inhibitors and antiproliferative agents
INVENTOR(S): Trova, Michael Peter
PATENT ASSIGNEE(S): Albany Molecular Research, Inc., USA
SOURCE: PCT Int. Appl., 266 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003022219	A2	20030320	WO 2002-US28731	20020909
WO 2003022219	A3	20031113		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003087906	A1	20030508	US 2001-950543	20010911
US 6667311	B2	20031223		
US 2004077666	A1	20040422	US 2003-680832	20031007
PRIORITY APPLN. INFO.:			US 2001-950543 A	20010911

OTHER SOURCE(S): MARPAT 138:255243
GI



I

II

L11 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

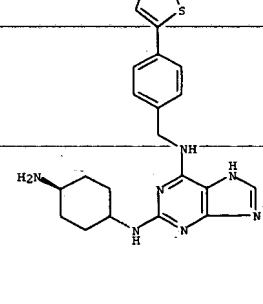
AB The compds. I of the present invention are 2,6,9-trisubstituted purine derivs. which are inhibitors of cyclin/CDK complexes. Title compds. I [R1 = H, alkyl, alkenyl, cycloalkyl, CH2CF3, CH2CH2CF3, CH(CF3)2; R2 = (substituted) Ph, naphthyl, pyridyl, pyrimidyl, thienyl, furyl, pyrrolyl, quinolinyl, isoquinolinyl, etc.; R3 = H, alkyl, alkenyl, (substituted) Ph, phenylalkyl, etc.; R4 = H, alkyl; R3R4 = form a 5-8 membered ring; R5 = heterocycle; A = CH2, (CH2)2, (CH2)3, OCH2CH2, CHCH3; Y = H, OR1, NHR1, NHCOR3, NHSO2R3, etc.; Q = (CH2)n; n = 0-3; V = NH, O, S, CH2], were prepared Thus, title compound II was prepared and inhibited growth of BT-579, MCF7, and numerous other transformed cell lines with GI50 < 0.01 μM. The compds. of the current invention also are potent inhibitors of human cellular proliferation. As such, the compds. of the present invention constitute pharmaceutical compns. with a pharmaceutically acceptable carrier. Such compds. are useful in treating a disorder mediated by elevated levels of cell proliferation in a mammal compared to a healthy mammal by administering to such mammal an effective amount of the compound

IT **502146-09-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of biarylmethylaminopurines as potent cyclin/CDK inhibitors and antiproliferative agents)

RN 502146-09-0 CAPLUS

CN 7H-Purine-2,6-diamine, N2-(trans-4-aminocyclohexyl)-N6-[[4-(5-chloro-2-thienyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

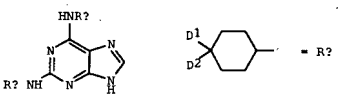


L11 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:504788 CAPLUS
DOCUMENT NUMBER: 137:78809
TITLE: Method of preparation of novel purine derivatives and their use as antifungal medicines
INVENTOR(S): Bordon-Pallier, Florence; Haesslein, Jean-Luc
PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051843	A1	20020704	WO 2001-FR4051	20011219
W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CO, CR, CU, CZ, DM, DZ, EC, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SG, SI, SK, TN, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2818642	A1	20020628	FR 2000-17009	20001226
CA 2433220	AA	20020704	CA 2001-2433220	20011219
EP 1347975	A1	20031001	EP 2001-994897	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004516326	T2	20040603	JP 2002-552938	20011219
US 2004063732	A1	20040401	US 2003-606424	20030626

PRIORITY APPLN. INFO.: FR 2000-17009 A 20001226
WO 2001-FR4051 W 20011219

OTHER SOURCE(S): CASREACT 137:78809; MARPAT 137:78809
GI



I

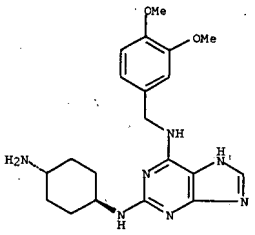
AB The invention concerns novel purine products I [Rx = (Z)NR1; Z = CH2, SO2, CO, CO2, CONH, (CH2)2-NR6; n = 0, 1; R1 = H, Ph, CH2Ph, pyridyl, alkyl, piperidinyl (optionally substituted); Ry = (un)substituted Ph, Rz; D1, D2 = H, (un)substituted NH2], in all the isomeric forms and pharmaceutically acceptable salts, for use as antifungal medicines. Thus, trans-N2-(4-aminocyclohexyl)-N6-(3,4-dichlorophenyl)-9H-purin-6-amine (I; Rx = 4-aminocyclohexyl, Ry = 3,4-dichlorophenyl) was prepared from

L11 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
2,6-dichloropurine via amination with 3,4-dichloroaniline in BuOH followed by fusion with trans-1,4-diaminocyclohexane at 70°. I (Rx = 4-aminocyclohexyl, Ry = 3,4-dichlorophenyl) was shown to be an active inhibitor of CIV-CDK (CIV1) [IC50 = 2.9 μM] and Candida albicans [CMI = 25 μg/mL].

IT **439803-06-2P 439803-12-0P 439803-14-2P**
439803-17-5P 439803-19-7P 439803-21-1P
439803-23-3P 439803-25-5P 439803-27-7P
439803-29-9P 439803-31-3P 439803-33-5P
439803-42-6P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel purine derivs. as inhibitors of CIV-CDK)

RN 439803-06-2 CAPLUS
CN 1H-Purine-2,6-diamine, N2-(trans-4-aminocyclohexyl)-N6-[(3,4-dimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

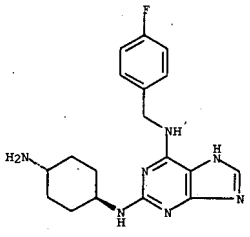
Relative stereochemistry.



RN 439803-12-0 CAPLUS
CN 1H-Purine-2,6-diamine, N2-(trans-4-aminocyclohexyl)-N6-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

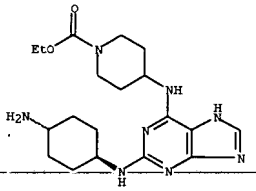
Relative stereochemistry.

L11 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



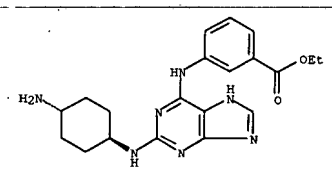
RN 439803-14-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[2-[(trans-4-aminocyclohexyl)amino]-1H-purin-6-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 439803-17-5 CAPLUS
CN Benzoic acid, 3-[[2-[(trans-4-aminocyclohexyl)amino]-1H-purin-6-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

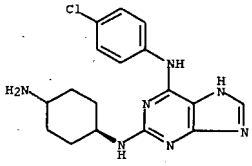
Relative stereochemistry.



L11 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

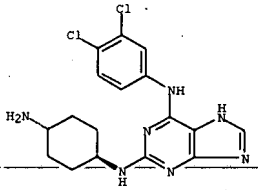
RN 439803-19-7 CAPLUS
CN 1H-Purine-2,6-diamine, N2-(trans-4-aminocyclohexyl)-N6-(4-chlorophenyl)-(9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 439803-21-1 CAPLUS
CN 1H-Purine-2,6-diamine, N2-(trans-4-aminocyclohexyl)-N6-(3,4-dichlorophenyl)- (9CI) (CA INDEX NAME)

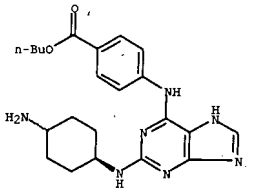
Relative stereochemistry.



RN 439803-23-3 CAPLUS
CN Benzoic acid, 4-[[2-[(trans-4-aminocyclohexyl)amino]-1H-purin-6-yl]amino]-, butyl ester (9CI) (CA INDEX NAME)

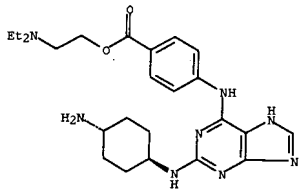
Relative stereochemistry.

L11 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 439803-25-5 CAPLUS
CN Benzoic acid, 4-[[2-[(trans-4-aminocyclohexyl)amino]-1H-purin-6-yl]amino]-, 2-(diethylamino)ethyl ester (9CI) (CA INDEX NAME)

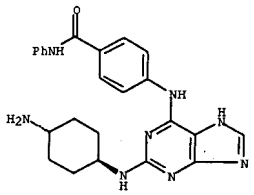
Relative stereochemistry.



RN 439803-27-7 CAPLUS
CN Benzamide, 4-[[2-[(trans-4-aminocyclohexyl)amino]-1H-purin-6-yl]amino]-N-phenyl- (9CI) (CA INDEX NAME)

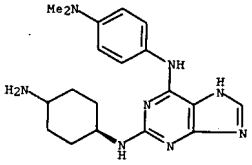
Relative stereochemistry.

L11 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



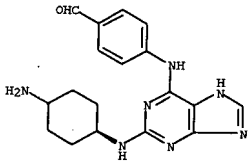
RN 439803-29-9 CAPLUS
CN 1H-Purine-2,6-diamine, N2-(trans-4-aminocyclohexyl)-N6-[4-(dimethylamino)phenyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 439803-31-3 CAPLUS
CN Benzaldehyde, 4-[[2-[(trans-4-aminocyclohexyl)amino]-1H-purin-6-yl]amino]- (9CI) (CA INDEX NAME)

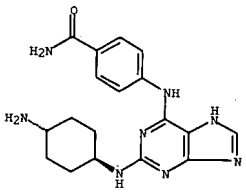
Relative stereochemistry.



RN 439803-33-5 CAPLUS

L11 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN Benzamide, 4-[[2-[(trans-4-aminocyclohexyl)amino]-1H-purin-6-yl]amino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 439803-42-6 CAPLUS
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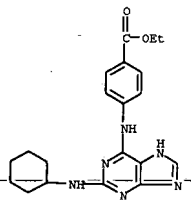


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

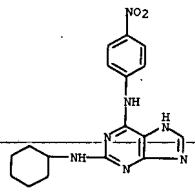
L11 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1973:16123 CAPLUS
DOCUMENT NUMBER: 78:16123
TITLE: Synthesis and study of 2,6-diaminopurines
AUTHOR(S): Tret'yakova, G. S.; Nedel'kina, N. N.; Cherkasov, V. M.
CORPORATE SOURCE: Inst. Org. Khim., Kiev, USSR
SOURCE: Ukrainskii Khimicheskii Zhurnal (Russian Edition) (1972), 38(6), 602-5
CODEN: UKZHAU; ISSN: 0041-6045
DOCUMENT TYPE: Journal
LANGUAGE: Russian

AB 2,6-Dichloropurine was treated with cyclohexyl-, admantyl-, and benzylamines, and with morpholine, p-toluidine, and p-nitroaniline to replace the Cl atom in position 2. By use of more amine, disubstituted compds. were obtained using morpholine, benzylamine, and p-toluidine. The Cl atom of 6-chloro-2-benzylaminopurine was replaced by p-XC6H4NH (X = Me, NO2) and the Cl of 6-chloro-2-cyclohexylaminopurine was replaced with p-O2NC6H4NH. These compds. were prepared as substances with possible cytokinin activity.

IT **39639-51-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 39639-51-5 CAPLUS
CN 1H-Purine-2,6-diamine, N2-cyclohexyl-N6-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-3.65	-3.65

FILE 'CAOLD' ENTERED AT 11:49:39 ON 21 APR 2005

FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

L12 0 L10

=> del his y

=> fil reg
COST IN U.S. DOLLARS

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FULL ESTIMATED COST	0.43	356.49

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CA SUBSCRIBER PRICE	0.00	-3.65

FILE 'REGISTRY' ENTERED AT 11:49:57 ON 21 APR 2005

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 20 APR 2005 HIGHEST RN 848887-73-0
DICTIONARY FILE UPDATES: 20 APR 2005 HIGHEST RN 848887-73-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

U.S. DEPARTMENT OF COMMERCE
Patent and Trademark Office

SEARCH REQUEST FORM 15112

Requestor's Name: BERCH

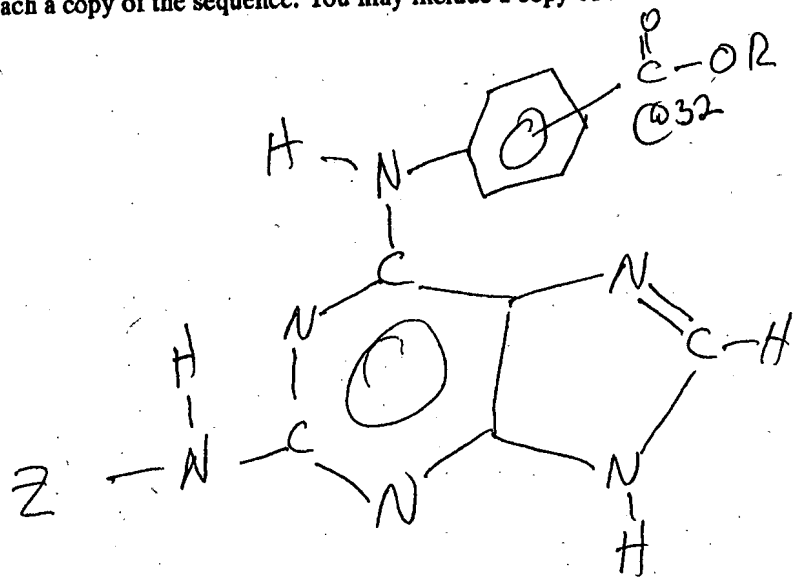
Serial Number: 10606424

Date: 4/19

Phone: 571-272-0663 Art Unit: 1624

Office Rem 5C01 Mailbox 5C18

Search Topic:
Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevent citations, authors, keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevent claim(s).



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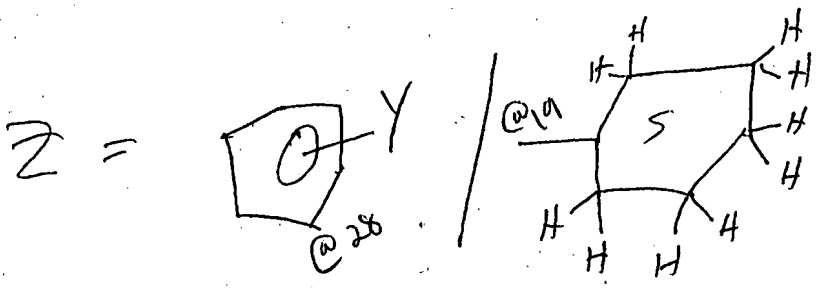
.43
210.85



12.20
12.1-21

Y = C-OR / NO_2 / NH_2 / $N(CH_3)_2$

4 of 4

Page 1

Bench
10/606424
#4 of 4

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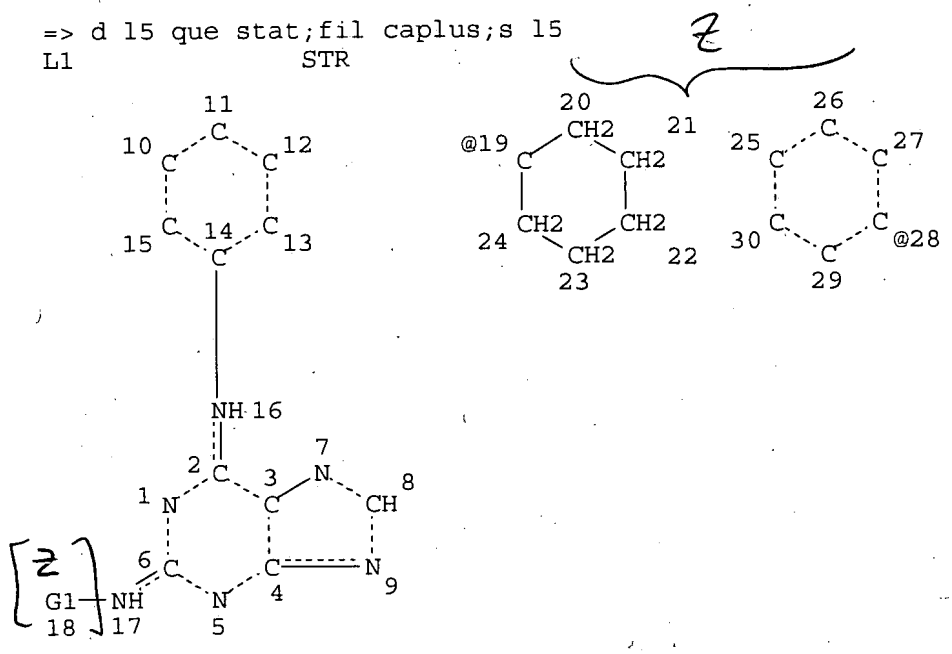

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DEFAULT ECLEVEL IS LIMITED

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NUMBER OF NODES IS 30

STEREO ATTRIBUTES: NONE
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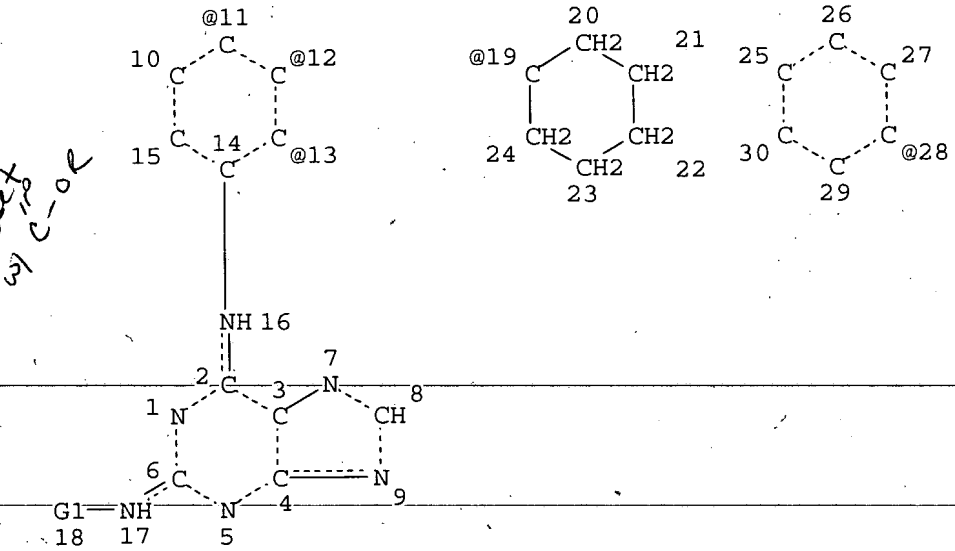
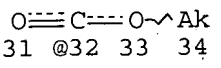


Subset w/ C-O-C

Page 1-A



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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 34

STEREO ATTRIBUTES: NONE
L5 6 SEA FILE=REGISTRY SUB=L3 SSS FUL L4

100.0% PROCESSED 50 ITERATIONS 6 ANSWERS
SEARCH TIME: 00.00.01

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	203.68	963.85

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-13.14

FILE 'CAPLUS' ENTERED AT 12:18:21 ON 21 APR 2005

FILE COVERS 1907 - 21 Apr 2005 VOL 142 ISS 17
FILE LAST UPDATED: 20 Apr 2005 (20050420/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

L6 1 L5

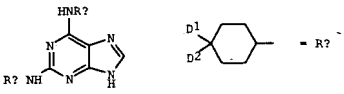
Page 4

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:504788 CAPLUS
DOCUMENT NUMBER: 137:78809
TITLE: Method of preparation of novel purine derivatives and their use as antifungal medicines
INVENTOR(S): Bordon-Pallier, Florence; Haesslein, Jean-Luc
PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051843	A1	20020704	WO 2001-FR4051	20011219
W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CO, CR, CU, CZ, DM, DZ, EC, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SG, SI, SK, TN, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2818642	A1	20020628	FR 2000-17009	20001226
CA 2433220	AA	20020704	CA 2001-2433220	20011219
EP 1347975	A1	20031001	EP 2001-994897	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004516326	T2	20040603	JP 2002-552938	20011219
US 2004063732	A1	20040401	US 2003-606424	20030626
PRIORITY APPLN. INFO.:			FR 2000-17009 A	20001226
			WO 2001-FR4051 W	20011219

OTHER SOURCE(S): CASREACT 137:78809; MARPAT 137:78809
GI



I

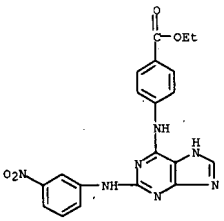
AB The invention concerns novel purine products I [Rx = (Z)NR1; Z = CH2, SO2, CO, CO2, CONH, (CH2)2-NR6; n = 0, 1; R1 = H, Ph, CH2Ph, pyridyl, alkyl, piperidinyl (optionally substituted); Ry = (un)substituted Ph, Rz; D1, D2 = H, (un)substituted NH2], in all the isomeric forms and pharmaceutically acceptable salts, for use as antifungal medicines. Thus,

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

trans-N2-(4-aminocyclohexyl)-N6-(3,4-dichlorophenyl)-9H-purin-6-amine (I; Rx = 4-aminocyclohexyl, Ry = 3,4-dichlorophenyl) was prepd. from 2,6-dichloropurine via amination with 3,4-dichloroaniline in BuOH followed by fusion with trans-1,4-diaminocyclohexane at 70°. I (Rx = 4-aminocyclohexyl, Ry = 3,4-dichlorophenyl) was shown to be an active inhibitor of CIV-CDK (CIV1) [IC50 = 2.9 μM] and Candida albicans [CMI = 25 μg/mL].

IT **439803-37-9P**
RL: BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of novel purine derivs. as inhibitors of CIV-CDK)

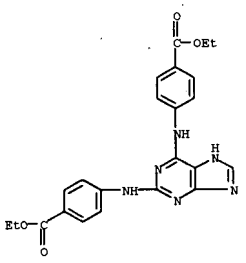
RN 439803-37-9 CAPLUS
CN Benzoic acid, 4-[[2-[(3-nitrophenyl)amino]-1H-purin-6-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



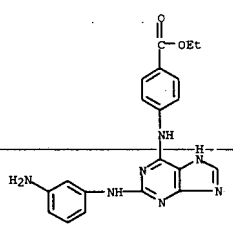
IT **439803-35-7P 439803-39-1P 439803-40-4P**
439803-42-6P 439803-44-8P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel purine derivs. as inhibitors of CIV-CDK)

RN 439803-35-7 CAPLUS
CN Benzoic acid, 4,4'-(1H-purin-2,6-diyldiimino)bis-, diethyl ester (9CI) (CA INDEX NAME)

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

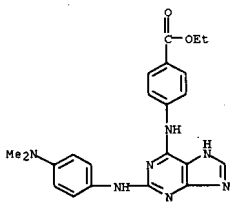


RN 439803-39-1 CAPLUS
CN Benzoic acid, 4-[[2-[(3-aminophenyl)amino]-1H-purin-6-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

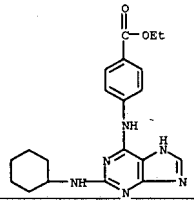


RN 439803-40-4 CAPLUS
CN Benzoic acid, 4-[[2-[[4-(dimethylamino)phenyl]amino]-1H-purin-6-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

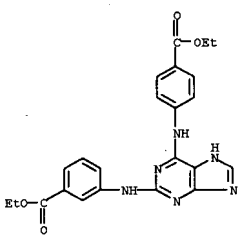


RN 439803-42-6 CAPLUS
CN Benzoic acid, 4-[[2-(cyclohexylamino)-1H-purin-6-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 439803-44-8 CAPLUS
CN Benzoic acid, 3-[[6-[[4-(ethoxycarbonyl)phenyl]amino]-1H-purin-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> fil caol;s 17

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	6.29	970.14

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.73	-13.87

FILE 'CAOLD' ENTERED AT 12:20:17 ON 21 APR 2005

FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

L8 0 L5

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.43	970.57

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-13.87

FILE 'REGISTRY' ENTERED AT 12:20:22 ON 21 APR 2005

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 20 APR 2005 HIGHEST RN 848887-73-0
DICTIONARY FILE UPDATES: 20 APR 2005 HIGHEST RN 848887-73-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

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*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information.  *
*                                                                    *
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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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                DEL HIS Y

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L8              0 S L7

     FILE 'REGISTRY' ENTERED AT 12:20:22 ON 21 APR 2005

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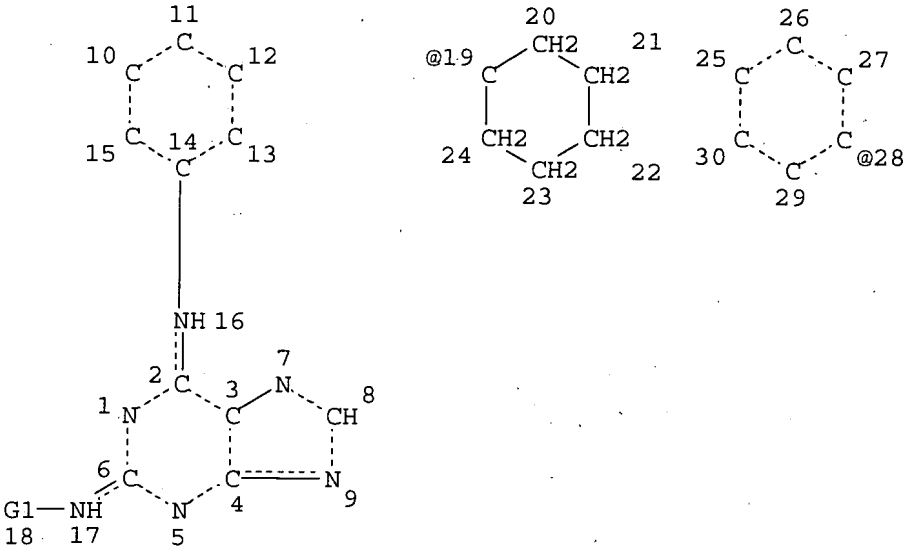

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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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NUMBER OF NODES IS 30

STEREO ATTRIBUTES: NONE
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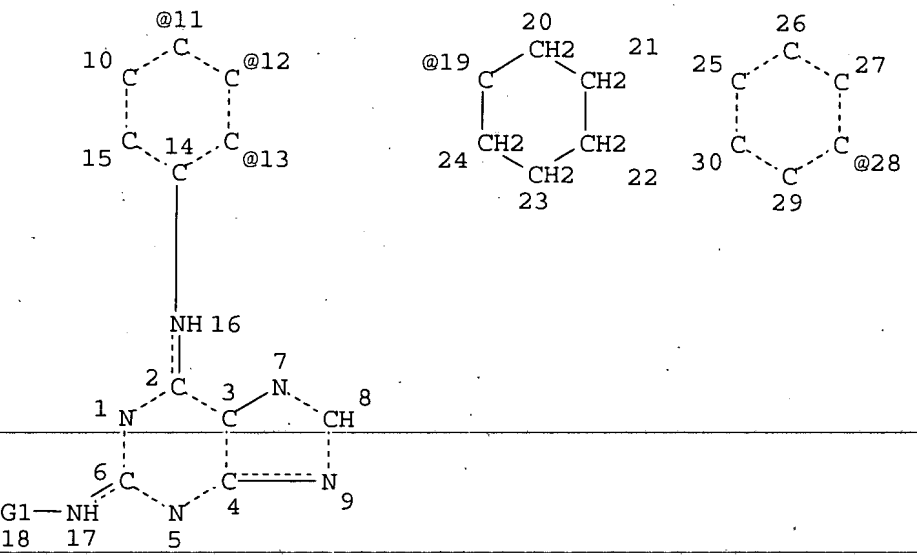
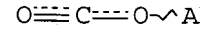
L4 STR





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Page 2-A
VAR G1=28/19
VPA 32-13/12/11 U
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 34

STEREO ATTRIBUTES: NONE
L5 6 SEA FILE=REGISTRY SUB=L3 SSS FUL L4

100.0% PROCESSED 50 ITERATIONS 6 ANSWERS
SEARCH TIME: 00.00.01

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.43	971.00

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-13.87

STN INTERNATIONAL LOGOFF AT 12:20:32 ON 21 APR 2005

U.S. DEPARTMENT OF COMMERCE
Patent and Trademark Office

SEARCH REQUEST FORM 15111

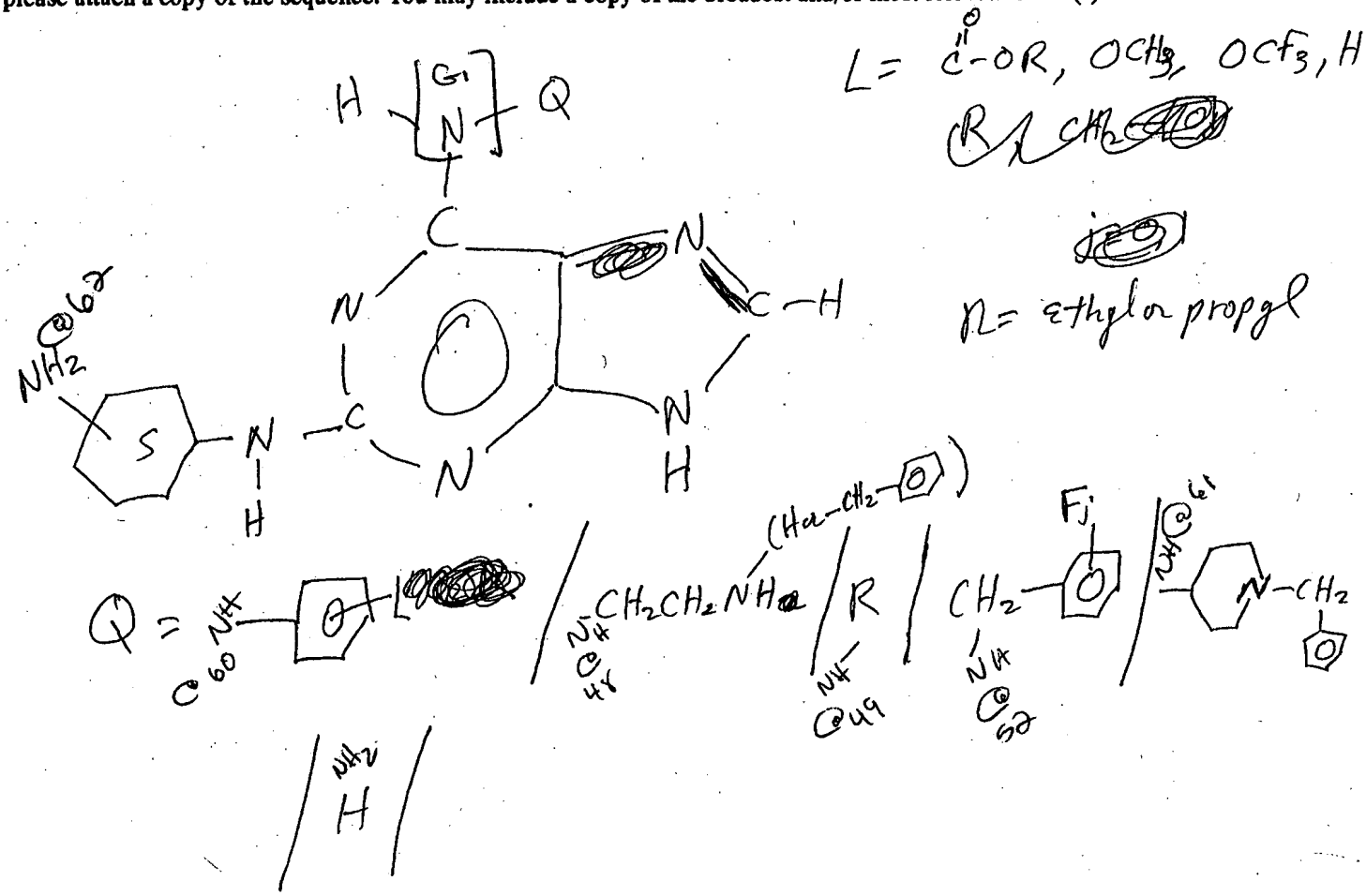
Requestor's Name: BERCH Serial Number: 10/606424

Date: 4/19 Phone: 571-272-0663 Art Unit: 1624

Office Rem 5C01 Mailbox 5C18

Search Topic:

Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevent citations, authors, keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevent claim(s).



Compound must be mult. component

Do not broaden search

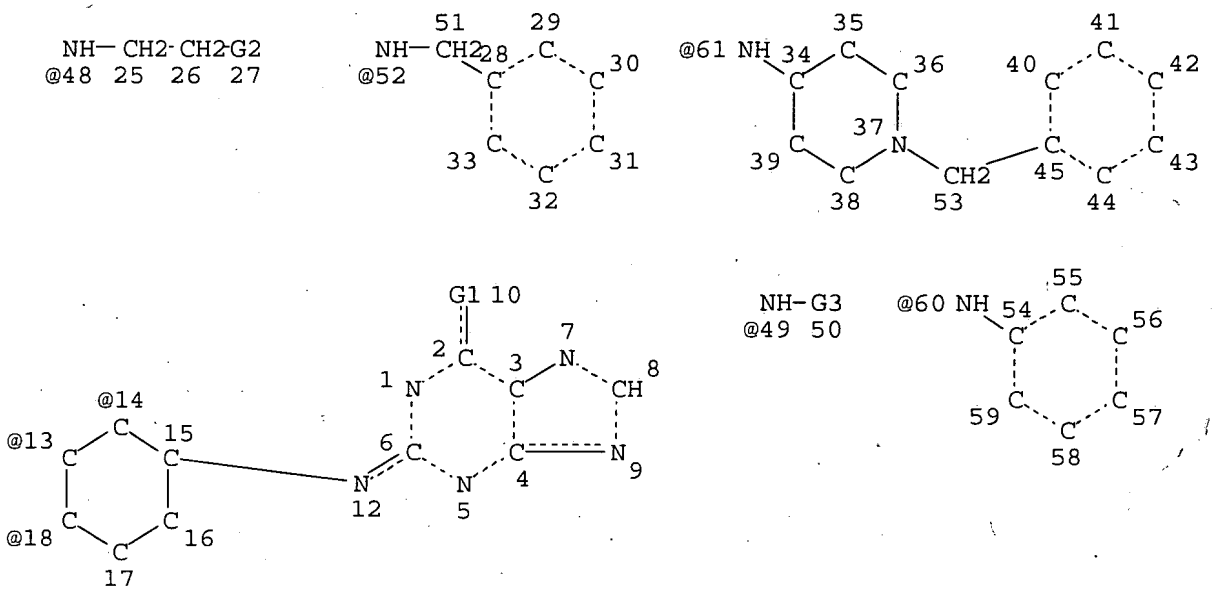
#2 of 4

169.50
20.21 +3
.43

12:11
12:08 -
12:

Buch
10/606424
#2 of 4

=> d l3 que stat;fil caplus;s l3
L1 STR



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VPA 62-14/13/18 U
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 53

STEREO ATTRIBUTES: NONE
L3 34 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 302 ITERATIONS 34 ANSWERS
SEARCH TIME: 00.00.01

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	169.50	739.10

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-10.22

FILE 'CAPLUS' ENTERED AT 12:11:15 ON 21 APR 2005

FILE COVERS 1907 - 21 Apr 2005 VOL 142 ISS 17
FILE LAST UPDATED: 20 Apr 2005 (20050420/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

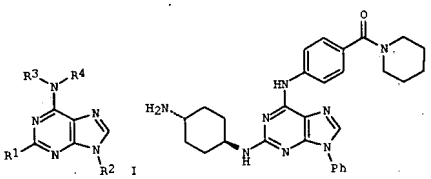
L4 4 L3

=> d 1-4 ibib abs hitstr;fil caol;s l3

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:161015 CAPLUS
DOCUMENT NUMBER: 142:261551
TITLE: Preparation of purinamines as inhibitors of receptor tyrosine kinase activity
INVENTOR(S): Cheng, Dai; Ding, Qiang; Han, Dong; Gray, Nathanael Schiander; Zhang, Guobao
PATENT ASSIGNEE(S): IRM Llc, Bermuda
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005016528	A2	20050224	WO 2004-US26373	20040813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2003-495406P	P 20030815
			US 2003-524357P	P 20031121
			US 2004-565367P	P 20040426

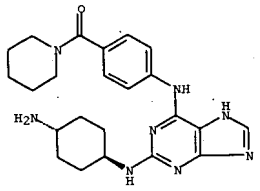
GI



I II

AB The invention provides a novel class of compds. I [R1 = H, halo, alkyl, haloalkyl, etc.; R2 = H, aryl, heteroaryl; R3 = H, alkyl; R4 = (hetero)cycloalkylalkyl, (hetero)arylalkyl, etc.], pharmaceutical compns. comprising such compds. and methods of using such compds. to treat or prevent diseases or disorders associated with cSRC, Lck, FGFR3, Flt3, TrkB, Bmx, and/or PDGFRα kinase activity. Twelve synthetic examples

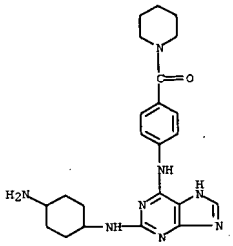
L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
describe the prepn. of compds. I. E.g., a multi-step synthesis of II, starting from 2,6-dichloropurine, was given. The compds. I were tested against various kinases. For example, I showed IC50 of 0.1 nM to 0.0005 μM in Flt-3 assay.

IT **845792-02-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of purinamines as tyrosine kinase receptor inhibitors)

RN 845792-02-1 CAPLUS
CN Piperidine, 1-[4-[[2-[(4-aminocyclohexyl)amino]-1H-purin-6-yl]amino]benzoyl]- (9CI) (CA INDEX NAME)



IT **845795-66-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of purinamines as tyrosine kinase receptor inhibitors)

RN 845795-66-6 CAPLUS
CN Piperidine, 1-[4-[[2-[(trans-4-aminocyclohexyl)amino]-1H-purin-6-yl]amino]benzoyl]- (9CI) (CA INDEX NAME)

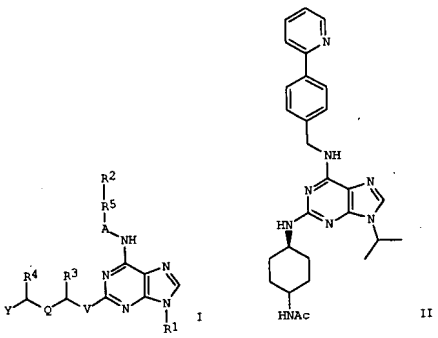
Relative stereochemistry.

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:221651 CAPLUS
DOCUMENT NUMBER: 138:238196
TITLE: Preparation of biarylmethylaminopurines as potent cyclin/CDK inhibitors and antiproliferative agents.
INVENTOR(S): Trova, Michael Peter
PATENT ASSIGNEE(S): Albany Molecular Research, Inc., USA
SOURCE: PCT Int. Appl., 275 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003022805	A2	20030320	WO 2002-US28730	20020909
WO 2003022805	A3	20040122		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003092909	A1	20030515	US 2002-237530	20020906
US 6812232	B2	20041102		
PRIORITY APPLN. INFO.:			US 2001-318569P	P 20010911
OTHER SOURCE(S):	MARPAT 138:238196			

GI

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



I

II

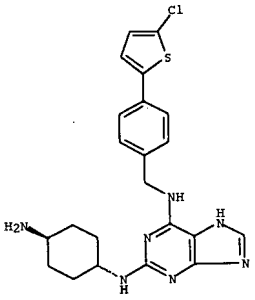
AB Title compds. [I; R1 = H, alkyl, alkenyl, cycloalkyl, CH2CF3, CH2CH2CF3, CH(CF3)2; R2 = (substituted) Ph, naphthyl, pyridyl, pyrimidyl, thienyl, furyl, pyrrolyl, quinolinyl, isoquinolinyl, etc.; R3 = H, alkyl, alkenyl, (substituted) Ph, phenylalkyl, etc.; R4 = H, alkyl; R3R4 = atoms to form a 5-8 membered ring; R5 = heterocycle; A = CH2, (CH2)2, (CH2)3, OCH2CH2, CHCH3; Y = H, OR1, NHR1, NHCOR3, NHSO2R3, etc.; Q = (CH2)n; n = 0-3; V = NH, O, S, CH2], were prepared Thus, title compound II was prepared and inhibited growth of BT-579, MCF7, and numerous other transformed cell lines with GI50 < 0.01 μM.

IT **502146-09-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of biarylmethylaminopurines as potent cyclin/CDK inhibitors and antiproliferative agents)

RN 502146-09-0 CAPLUS
CN 7H-Purine-2,6-diamine, N2-(trans-4-aminocyclohexyl)-N6-[[4-(5-chloro-2-thienyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:221467 CAPLUS
DOCUMENT NUMBER: 138:255243
TITLE: Preparation of biarylmethylaminopurines as potent cyclin/CDK inhibitors and antiproliferative agents
INVENTOR(S): Trova, Michael Peter
PATENT ASSIGNEE(S): Albany Molecular Research, Inc., USA
SOURCE: PCT Int. Appl., 266 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003022219	A2	20030320	WO 2002-US28731	20020909
WO 2003022219	A3	20031113		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003087906	A1	20030508	US 2001-950543	20010911
US 6667311	B2	20031223		
US 2004077666	A1	20040422	US 2003-680832	20031007
			US 2001-950543 A	20010911

PRIORITY APPLN. INFO.:
OTHER SOURCE(S): MARPAT 138:255243
GI

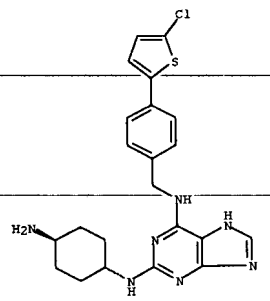
L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

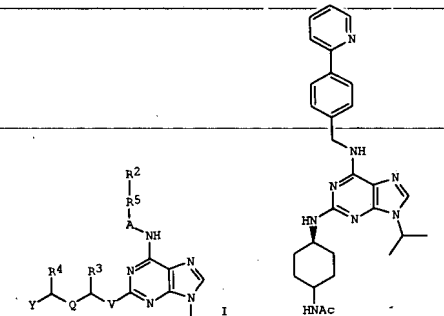
AB The compds. I of the present invention are 2,6,9-trisubstituted purine derivs. which are inhibitors of cyclin/CDK complexes. Title compds. I [R1 = H, alkyl, alkenyl, cycloalkyl, CH2CF3, CH2CH2CF3, CH(CF3)2; R2 = (substituted) Ph, naphthyl, pyridyl, pyrimidyl, thienyl, furyl, pyrrolyl, quinolinyl, isoquinolinyl, etc.; R3 = H, alkyl, alkenyl, (substituted) Ph, phenylalkyl, etc.; R4 = H, alkyl; R3R4 = form a 5-8 membered ring; R5 = heterocycle; A = CH2, (CH2)2, (CH2)3, OCH2CH2, CHCH3; Y = H, OR1, NHR1, NHCOR3, NHSO2R3, etc.; Q = (CH2)n; n = 0-3; V = NH, O, S, CH2], were prepared Thus, title compound II was prepared and inhibited growth of BT-579, MCF7, and numerous other transformed cell lines with GI50 < 0.01 μM. The compds. of the current invention also are potent inhibitors of human cellular proliferation. As such, the compds. of the present invention constitute pharmaceutical comps. with a pharmaceutically acceptable carrier. Such compds. are useful in treating a disorder mediated by elevated levels of cell proliferation in a mammal compared to a healthy mammal by administering to such mammal an effective amount of the compound

IT **502146-09-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of biarylmethylaminopurines as potent cyclin/CDK inhibitors and antiproliferative agents)

RN 502146-09-0 CAPLUS
CN 7H-Purine-2,6-diamine, N2-(trans-4-aminocyclohexyl)-N6-[[4-(5-chloro-2-thienyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.





I

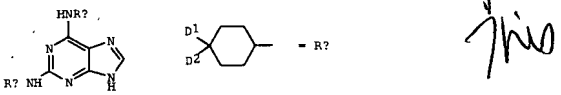
II

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:504788 CAPLUS
DOCUMENT NUMBER: 137:78809
TITLE: Method of preparation of novel purine derivatives and their use as antifungal medicines
INVENTOR(S): Bordon-Pallier, Florence; Haesslein, Jean-Luc
PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051843	A1	20020704	WO 2001-FR4051	20011219
W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CO, CR, CU, CZ, DM, DZ, EC, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SG, SI, SK, TN, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2818642	A1	20020628	FR 2000-17009	20001226
CA 2433220	AA	20020704	CA 2001-2433220	20011219
EP 1347975	A1	20031001	EP 2001-994897	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004516326	T2	20040603	JP 2002-552938	20011219
US 2004063732	A1	20040401	US 2003-606424	20030626
PRIORITY APPLN. INFO.:			FR 2000-17009 A	20001226
			WO 2001-FR4051 W	20011219

OTHER SOURCE(S): CASREACT 137:78809; MARPAT 137:78809
GI



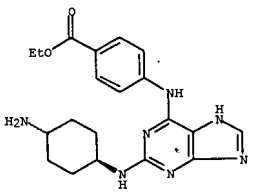
I

AB The invention concerns novel purine products I [Rx = (Z)NR1; Z = CH2, SO2, CO, CO2, CONH, (CH2)2-NR6; n = 0, 1; R1 = H, Ph, CH2Ph, pyridyl, alkyl, piperidinyl (optionally substituted); Ry = (un)substituted Ph, Rz; D1, D2 = H, (un)substituted NH2], in all the isomeric forms and pharmaceutically acceptable salts, for use as antifungal medicines. Thus, trans-N2-(4-aminocyclohexyl)-N6-(3,4-dichlorophenyl)-9H-purin-6-amine (I; Rx = 4-aminocyclohexyl, Ry = 3,4-dichlorophenyl) was prepared from 2,6-dichloropurine via amination with 3,4-dichloroaniline in BuOH followed by fusion with trans-1,4-diaminocyclohexane at 70°. I (Rx = 4-aminocyclohexyl, Ry = 3,4-dichlorophenyl) was shown to be an active inhibitor of CIV-CDK (CIV1) [IC50 = 2.9 μM] and Candida albicans [CMI = 25 μg/mL].

IT **439802-90-1P 439802-92-3P 439802-94-5P**
439802-96-7P 439802-98-9P 439803-00-6P
439803-02-8P 439803-04-0P 439803-06-2P
439803-08-4P 439803-10-8P 439803-12-0P
439803-17-5P 439803-19-7P 439803-21-1P
439803-23-3P 439803-25-5P 439803-27-7P
439803-29-9P 439803-31-3P 439803-33-5P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel purine derivs. as inhibitors of CIV-CDK)

RN 439802-90-1 CAPLUS
CN Benzoic acid, 4-[[2-[(trans-4-aminocyclohexyl)amino]-1H-purin-6-yl]amino]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

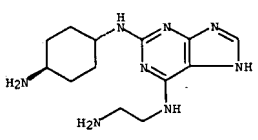
Relative stereochemistry.



●2 HCl

RN 439802-92-3 CAPLUS
CN 1H-Purine-2,6-diamine, N2-(trans-4-aminocyclohexyl)-N6-(2-aminoethyl)-, trihydrochloride (9CI) (CA INDEX NAME)

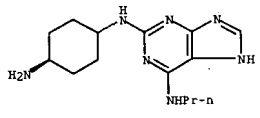
Relative stereochemistry.



●3 HCl

RN 439802-94-5 CAPLUS
CN 1H-Purine-2,6-diamine, N2-(trans-4-aminocyclohexyl)-N6-propyl-, dihydrochloride (9CI) (CA INDEX NAME)

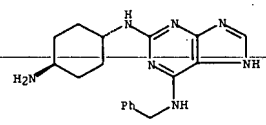
Relative stereochemistry.



●2 HCl

RN 439802-96-7 CAPLUS
CN 1H-Purine-2,6-diamine, N2-(trans-4-aminocyclohexyl)-N6-(phenylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

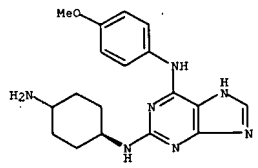
Relative stereochemistry.



●2 HCl

RN 439802-98-9 CAPLUS
CN 1H-Purine-2,6-diamine, N2-(trans-4-aminocyclohexyl)-N6-(4-methoxyphenyl)-, dihydrochloride (9CI) (CA INDEX NAME)

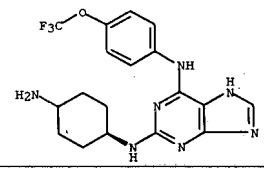
Relative stereochemistry.



●2 HCl

RN 439803-00-6 CAPLUS
CN 1H-Purine-2,6-diamine, N2-(trans-4-aminocyclohexyl)-N6-[4-(trifluoromethoxy)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

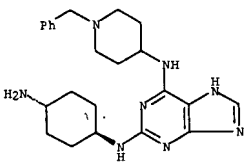


●2 HCl

RN 439803-02-8 CAPLUS
CN 1H-Purine-2,6-diamine, N2-(trans-4-aminocyclohexyl)-N6-[1-(phenylmethyl)-4-piperidinyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

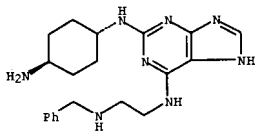
L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



●3 HCl

RN 439803-04-0 CAPLUS
CN 1H-Purine-2,6-diamine, N2-(trans-4-aminocyclohexyl)-N6-[2-[(phenylmethyl)amino]ethyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

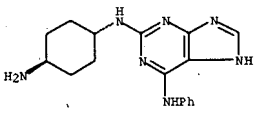


●3 HCl

RN 439803-06-2 CAPLUS
CN 1H-Purine-2,6-diamine, N2-(trans-4-aminocyclohexyl)-N6-[(3,4-dimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

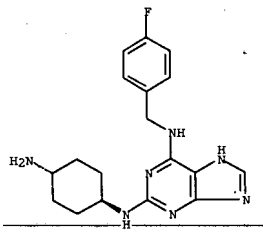
L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



●2 HCl

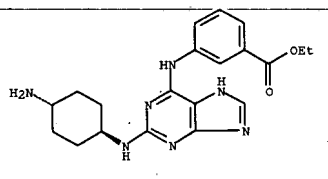
RN 439803-12-0 CAPLUS
CN 1H-Purine-2,6-diamine, N2-(trans-4-aminocyclohexyl)-N6-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



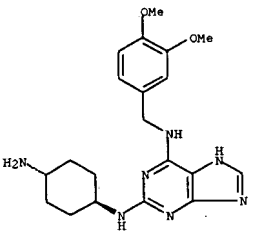
RN 439803-17-5 CAPLUS
CN Benzoic acid, 3-[[2-[(trans-4-aminocyclohexyl)amino]-1H-purin-6-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



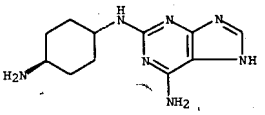
RN 439803-19-7 CAPLUS

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 439803-08-4 CAPLUS
CN 1H-Purine-2,6-diamine, N2-(trans-4-aminocyclohexyl)-, dihydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.



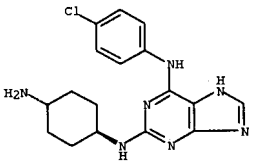
●2 HCl

RN 439803-10-8 CAPLUS
CN 1H-Purine-2,6-diamine, N2-(trans-4-aminocyclohexyl)-N6-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

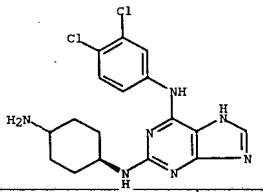
L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(9CI) (CA INDEX NAME)

Relative stereochemistry.



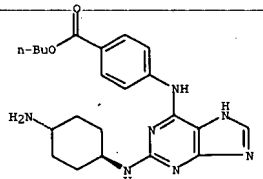
RN 439803-21-1 CAPLUS
CN 1H-Purine-2,6-diamine, N2-(trans-4-aminocyclohexyl)-N6-(3,4-dichlorophenyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



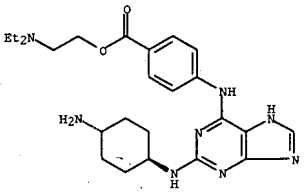
RN 439803-23-3 CAPLUS
CN Benzoic acid, 4-[[2-[(trans-4-aminocyclohexyl)amino]-1H-purin-6-yl]amino]-, butyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



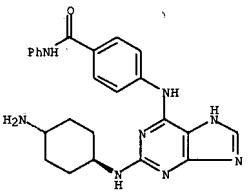
L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 439803-25-5 CAPLUS
CN Benzoic acid, 4-[[2-[(trans-4-aminocyclohexyl)amino]-1H-purin-6-yl]amino]-, 2-(diethylamino)ethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 439803-27-7 CAPLUS
CN Benzamide, 4-[[2-[(trans-4-aminocyclohexyl)amino]-1H-purin-6-yl]amino]-N-phenyl- (9CI) (CA INDEX NAME)

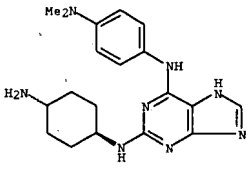
Relative stereochemistry.



RN 439803-29-9 CAPLUS
CN 1H-Purine-2,6-diamine, N2-(trans-4-aminocyclohexyl)-N6-[4-(dimethylamino)phenyl]- (9CI) (CA INDEX NAME)

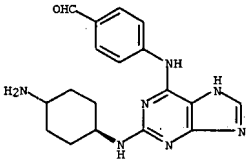
Relative stereochemistry.

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



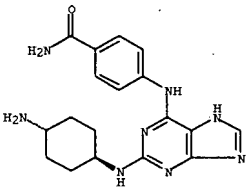
RN 439803-31-3 CAPLUS
CN Benzaldehyde, 4-[[2-[(trans-4-aminocyclohexyl)amino]-1H-purin-6-yl]amino]-(9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 439803-33-5 CAPLUS
CN Benzamide, 4-[[2-[(trans-4-aminocyclohexyl)amino]-1H-purin-6-yl]amino]-(9CI) (CA INDEX NAME)

Relative stereochemistry.



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L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
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L5 0 L3

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L4              4 S L3
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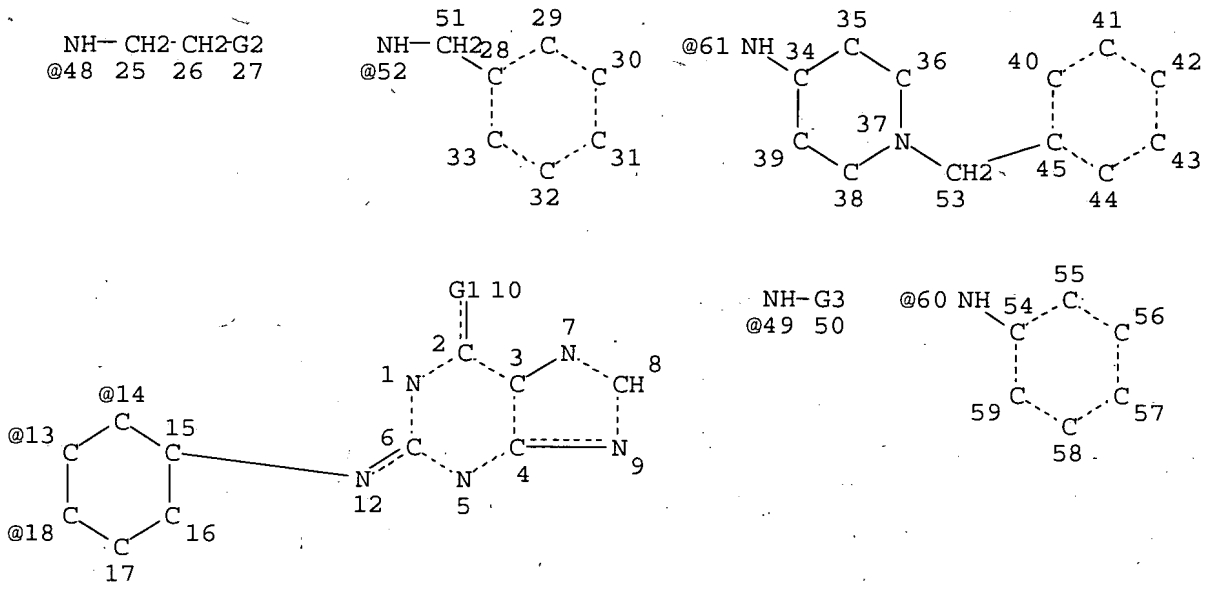

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